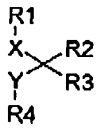
In the claims:

This listing of claims will replace all prior versions, and listings, of claims in the application.

1. (Currently amended) A compound of Formula I



(I)

or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt,

wherein:

R_1 is selected from the group consisting of:

C_1-C_6 alkyl, substituted with one or more basic groups;

cycloalkyl, substituted with one or more basic groups;

aromatic heterocyclyl, comprising at least one nitrogen atom, and substituted with one or more basic groups; aliphatic heteterocyclyl, comprising at least one nitrogen atom;

heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups; and

aryl, substituted with one or more basic groups;

R_2 is selected from the group consisting of H, acyl, acylamino, alkyl, alkylcarbamoyl, alkylthio, alkoxy, aroyl, aroylamino, aryloxy, arylthio, amidino, amino, aryl, carbamoyl, carboxy, cyano, cycloalkyl, formyl, guanidino, halogen, heterocyclyl, hydroxy, oxo, nitro, thiol, a Z_2N-CO-O- group, a ZO-CO-NZ- group, and a Z_2N-CO-NZ- group;

R_3 is selected from the group consisting of $COOR_5$, $SO(OR_5)$, SO_3R_5, $P{=}O(OR_5)_2$, $B(OR_5)_2$, $P{=}OR_5(OR_5)$, tetrazole, and a carboxylic

acid isostere which is an acidic group having a pKa of from about -5 to about 25;

R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;

R_5 is H, C_1-C_6 alkyl, or aryl;

R_6 is H or C_1-C_6 alkyl;

X is selected from the group consisting of O, S, SO, SO_2, $C(Z)_2$, $N(Z)$, NR_6SO_2, SO_2NR_6, NR_6CO, and $CONR_6$;

Y is $C(Z)_2$; and

Z is independently selected from the group consisting of H, C_1-C_6 alkyl, aryl, cycloalkyl, and heterocyclyl.

2. (Previously presented) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt,

wherein:

R_1 is selected from the group consisting of:

cycloalkyl, substituted with one or more basic groups;

heterocyclyl, comprising at least one nitrogen atom;

heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups; and

aryl, substituted with one or more basic groups;

R_2 is selected from the group consisting of H, acyl, acylamino, alkyl, alkylcarbamoyl, alkylthio, alkoxy, aroyl, aroylamino, aryloxy, arylthio, amidino, amino, aryl, carbamoyl, carboxy, cyano, cycloalkyl, formyl, guanidino, halogen, heterocyclyl, hydroxy, oxo, nitro, thiol, Z_2N-CO-O-, ZO-CO-NZ-, and Z_2N-CO-NZ-;

R_3 is $COOR_5$;

R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;

R_5 is H, C_1-C_6 alkyl, or aryl;

R_6 is H or C_1-C_6 alkyl;

X is selected from the group consisting of O, S, SO, SO_2, $C(Z)_2$, $N(Z)$, NR_6SO_2, SO_2NR_6, and $CONR_6$;

Y is $C(Z)_2$; and

Z is independently selected from the group consisting of H, C_1-C_6 alkyl, aryl, cycloalkyl and heterocyclyl.

3. (Previously presented) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt,

wherein:

R_1 is selected from the group consisting of:

cycloalkyl, substituted with one or more basic groups;

heterocyclyl, comprising at least one nitrogen atom; and

heterocyclyl, comprising at least one hetero atom selected from S or O, and substituted with one or more basic groups;

R_2 is selected from the group consisting of H, C_1-C_3 alkyl, amino, halogen, and hydroxy;

R_3 is $COOR_5$;

R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;

R_5 is H, C_1-C_6 alkyl, or aryl;

X is $C(Z)_2$;

Y is $C(Z)_2$; and

Z is independently H or C_1-C_6 alkyl.

4. (Previously presented) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt,

wherein:

R_1 is selected from the group consisting of:

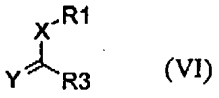
cycloalkyl, substituted with one or more basic groups; and

heterocyclyl, comprising at least one nitrogen atom;

R_2 is H, F, or C_1 alkyl;
R_3 is $COOR_5$;
R_4 is SH, S-CO-C_1-C_6 alkyl, or S-CO-aryl;
R_5 is H, C_1-C_6 alkyl, or aryl;
X is $C(Z)_2$;
Y is $C(Z)_2$; and
Z is independently H or C_1-C_6 alkyl.

5. (Previously presented) The compound according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, wherein:
R_1 is selected from the group consisting of cyclopentyl, pyridyl, pyrimidinyl, piperidinyl, and thiazolyl;
R_2 is H, F, or C_1 alkyl;
R_3 is $COOR_5$;
R_4 is SH;
R_5 is H;
X is CHZ;
Y is CHZ; and
Z is independently H or C_1-C_6 alkyl.

6. (Previously presented) A process for the preparation of a compound according to claim 1, wherein X is $C(Z)_2$, and R_2 is H, comprising the step of:
reacting a compound of Formula VI,



(VI)

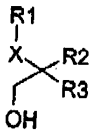
wherein R_1, R_3 and Y are as defined in claim 1 and X is $C(Z)_2$, with a compound of Formula IX,

$$R5—SH \quad (IX)$$

wherein R_5 is a protecting group, optionally in the presence of a base or a free-radical initiator.

7. (Previously presented) A process for the preparation of a compound according to claim 1, wherein Y is CH_2, and X is O, S, $C(Z)_2$, or N(Z), comprising the step of:

reacting a compound of Formula XIV,



(XIV)

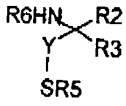
wherein R_1, R_2, and R_3 are as defined in claim 1, and X is O, S, $C(Z)_2$, or N(Z), with a compound of general Formula IX,

$$R5—SH \quad (IX)$$

wherein R_5 is a protecting group, in the presence of a suitable reagent, under standard conditions.

8. (Previously presented) A process for the preparation of a compound according to claim 1, wherein X is NR_6CO or NR_6SO_2, comprising the step of:

reacting a compound of Formula XV,



(XV)

wherein R_2, R_3, R_6 and Y are as defined in claim 1 and R_5 is a protecting group, with a compound of Formula XVI,

R1-X (XVI)

wherein R_1 is as defined in claim 1 and X is COOH or SO_2Cl, in the presence of a coupling reagent, under standard conditions.

9. (Previously presented) A pharmaceutical formulation comprising a compound according to any one of claims 1 to 5 as active ingredient in combination with a pharmaceutically acceptable adjuvant, diluent or carrier.

10. (cancelled)

11. (cancelled)

12. (Previously presented) A method for treatment or prophylaxis of conditions associated with inhibition of carboxypeptidase U, comprising administering to a patient in need of such treatment an effective amount of a compound according to any one of claims 1-5.

13. (Previously presented) A pharmaceutical formulation for the treatment or prophylaxis of conditions associated with inhibition of carboxypeptidase U, comprising a compound according to any one of claims 1-5 in combination with a pharmaceutically acceptable adjuvant, diluent, or carrier.

14. (Previously presented) A pharmaceutical formulation, comprising:

(i) a compound of Formula I according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt; and

(ii) one or more antithrombotic agents with a different mechanism of action from that of component (i),

in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier.

15. (Previously presented) A kit of parts comprising:

(i) a pharmaceutical formulation comprising a compound of Formula I according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier; and

(ii) a pharmaceutical formulation comprising one or more antithrombotic agents with a different mechanism of action from that of component (i),

in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier,

wherein compound (i) and agent (ii) are each formulated for administration in conjunction with the other.

16. (Previously presented) A method for treatment of a patient suffering from, or susceptible to, a condition in which inhibition of carboxypeptidase U and a different antithrombotic mechanism are required or desired, which method comprises administering to the patient a therapeutically effective total amount of:

(i) a compound of Formula I according to claim 1, or a pharmaceutically acceptable salt or solvate thereof, or a solvate of such a salt, in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier; and

(ii) one or more antithrombotic agents with a different mechanism of action from that of component (i),

in admixture with a pharmaceutically acceptable adjuvant, diluent, or carrier.

17. (Previously presented) A method for the treatment of a patient suffering from, or susceptible to, a condition in which inhibition of carboxypeptidase U and a different antithrombotic mechanism are required or desired, which method comprises administering to the patient the formulation according to claim 14.

18. (Previously presented) The compound according to any one of claims 1-4, wherein the basic group is selected from the group consisting of amino, amidino, and guanidino.

19. (Previously presented) The process according to claim 6, wherein the protecting group is selected from the group consisting of acetate (Ac), benzoyl (Bz), benzyl (Bn), and 4-methoxybenzyl (PMB).

20. (Previously presented) The process according to claim 6, wherein the base is selected from the group consisting of NaOMe, NaH, and triethylamine .

21. (Previously presented) The process according to claim 6, wherein the free-radical initiator is α,α´-azoisobutyronitrile (AIBN).

22. (Previously presented) The process according to claim 7, wherein the protecting group is acetate (Ac) or benzoyl (Bz).

23. (Previously presented) The process according to claim 7, wherein the reagent is PPh_3/diisopropyl azodicarboxylate (DIAD).

24. (Previously presented) The process according to claim 8, wherein the protecting group is selected from the group consisting of acetate (Ac), benzoyl (Bz), benzyl (Bn), and 4-methoxybenzyl (PMB).

25. (Previously presented) The process according to claim 8, wherein the coupling reagent is selected from the group consisting of:

(i) (benzotriazol-1-yloxy)tripyrrolidinophosphonium hexafluorophosphate (PyBOP)/ diisopropylethylamine (DIPEA);

(ii) dicyclohexylcarbodiimide (DCC)/1-hydroxybenzotriazol (HOBt);

(iii) 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide (EDC)/triethylamine (TEA)/N,N-dimethyl amino pyridine (DMAP); and

(iv) pyridine.

26. (Previously presented) The formulation according to claim 14, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.

27. (Previously presented) The kit according to claim 15, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an

antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.

28. (Previously presented) The method according to claim 16, wherein the antithrombotic agent with a different mechanism of action is selected from the group consisting of an antiplatelet agent, thromboxane receptor inhibitor, synthetase inhibitor, fibrinogen receptor antagonist, prostacyclin mimetic, phosphodiesterase inhibitor, and an ADP-receptor (P_2T) antagonist.